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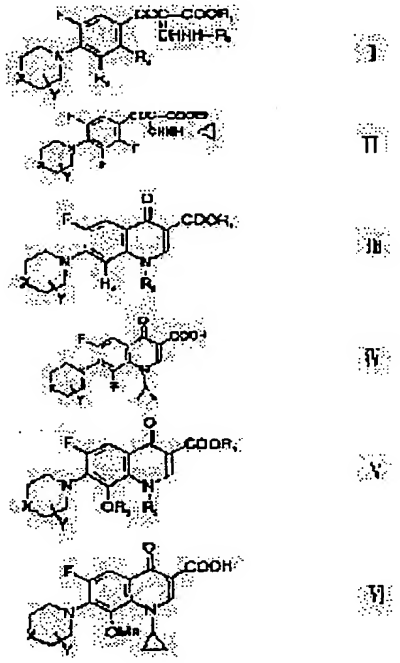
(54) PRODUCTION OF QUINOLONECARBOXYLIC ACID DERIVATIVE AND ITS SYNTHETIC INTERMEDIATE

(57)Abstract:
PURPOSE: To obtain a 6-fluoro-7-substituted-3-quinolonecarboxylic acid useful as an antimicrobial agent (medicine) or its intermediate in relatively high yield from a new substance without producing toxic substances with industrial advantages even to cost.
CONSTITUTION: A compound expressed by formula I [R1 is H or lower alkyl; R2 is lower alkyl or lower cycloalkyl; R3 is halogen, RSO3, OH or esters thereof ; R is lower alkyl, aryl or substituted aryl; R4 is H or halogen; X is (CH2)n, N or O; (n) is 0 or 1; Y is NH2, lower alkylamino, group readily convertible into them by a chemical means or H], especially a new substance expressed by formula II is thermally condensed in an aprotic polar solvent to afford a compound expressed by formula III, especially a compound expressed by formula IV, which is then reacted with a compound expressed by the formula R5ONa (R5 is lower alkyl, especially methyl) and, as desired, subsequently hydrolyzed to industrially and advantageously provide the objective compound expressed by formula V, especially formula VI.



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